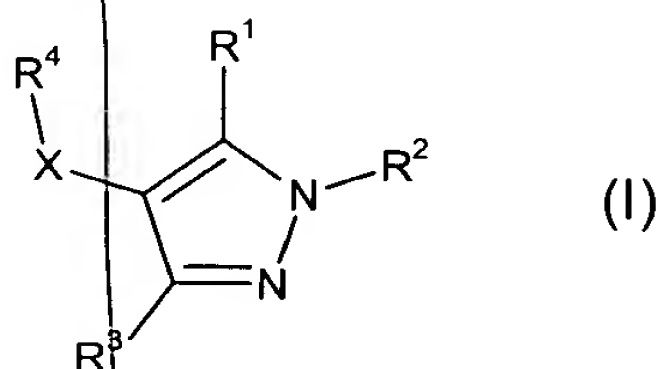


# CLAIMS

1. The use of a compound of the formula



5 or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i)  $R^1$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO-( $C_1$ - $C_6$  alkylene)-OR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, and

$R^2$  is H or -Y-Z,

or, (ii)  $R^1$  and  $R^2$ , when taken together, represent unbranched  $C_3$ - $C_4$  alkylene, optionally wherein one methylene group of said  $C_3$ - $C_4$  alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R<sup>5</sup> or R<sup>8</sup>;

Y is a direct bond or  $C_1$ - $C_3$  alkylene;

Z is R<sup>10</sup> or, where Y is  $C_1$ - $C_3$  alkylene, Z is -NR<sup>5</sup>COR<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>COR<sup>10</sup> or -NR<sup>5</sup>SO<sub>2</sub>R<sup>10</sup>;

$R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, -CN, halo, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>;

$R^4$  is phenyl or pyridyl, each being optionally substituted by R<sup>6</sup>, halo, -CN,  $C_1$ - $C_6$  alkyl, fluoro-( $C_1$ - $C_6$ )-alkyl,  $C_3$ - $C_7$  cycloalkyl or  $C_1$ - $C_6$  alkoxy;

each R<sup>5</sup> is independently either H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, fluoro-( $C_1$ - $C_6$ )-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_7$  cycloalkyl and said piperaziny and homopiperaziny being optionally substituted on the nitrogen atom not taken together with the two R<sup>5</sup> groups to form the ring by -COR<sup>7</sup> or -SO<sub>2</sub>R<sup>7</sup>;

$R^6$  is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by  $-OR^5$ ,  $-NR^5R^5$ ,  $-CN$ , oxo,  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl,  $-COR^7$  or halo;

$R^7$  is  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, fluoro- $(C_1-C_6)$ -alkyl, phenyl or benzyl;

$R^8$  is  $C_1-C_6$  alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo,

$-CN$ ,  $-CONR^5R^5$ ,  $-SO_2NR^5R^5$ ,  $-NR^5SO_2R^7$ ,  $-NR^5R^5$ ,  $-(C_1-C_6 \text{ alkylene})-NR^5R^5$ ,  $C_1-C_6$  alkyl, fluoro- $(C_1-C_6)$ -alkyl,  $C_3-C_7$  cycloalkyl or  $C_1-C_6$  alkoxy;

$R^9$  is H,  $C_1-C_6$  alkyl or  $C_3-C_7$  cycloalkyl, said  $C_1-C_6$  alkyl and  $C_3-C_7$  cycloalkyl being optionally substituted by  $-OR^5$ ,  $-NR^5R^5$ ,  $-NR^5COR^5$ ,  $-CONR^5R^5$  or  $R^6$ ;

$R^{10}$  is  $C_1-C_6$  alkyl,  $C_3-C_6$  alkenyl,  $C_3-C_6$  alkynyl,  $C_3-C_7$  cycloalkyl, phenyl, benzyl or C-linked  $R^6$ , said  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo,  $-OR^5$ ,  $-OR^{12}$ ,  $-CN$ ,  $-CO_2R^7$ ,  $-CONR^5R^5$ ,  $-OCONR^5R^5$ ,  $-C(=NR^5)NR^5OR^5$ ,  $-CONR^5NR^5R^5$ ,  $-OCONR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5R^{12}$ ,  $-NR^5COR^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5CONR^5R^5$ ,  $-NR^5COCONR^5R^5$ ,  $-NR^5SO_2R^7$ ,  $-SO_2NR^5R^5$  or  $R^6$ ;

X is  $-CH_2-$ ,  $-CHR^{11}-$ ,  $-CO-$ ,  $-S-$ ,  $-SO-$  or  $-SO_2-$ ;

$R^{11}$  is  $C_1-C_6$  alkyl,  $C_3-C_7$  cycloalkyl, fluoro- $(C_1-C_6)$ -alkyl or  $C_1-C_6$  alkoxy; and

$R^{12}$  is  $C_1-C_6$  alkyl substituted by  $R^6$ ,  $-OR^5$ ,  $-CONR^5R^5$ ,  $-NR^5COR^5$  or  $-NR^5R^5$ ;

in the manufacture of a reverse transcriptase inhibitor or modulator.

2. The use of a compound of the formula (I), or a pharmaceutically acceptable salt or solvate thereof, as defined in claim 1, in the manufacture of a medicament for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS).

3. The use of claim 1 or claim 2, wherein  $R^1$  is  $C_1-C_6$  alkyl,  $-OR^7$ ,  $-CO_2R^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5CO-(C_1-C_6 \text{ alkylene})-OR^5$  or  $R^6$ , said  $C_1-C_6$  alkyl being optionally substituted by halo,  $-CN$ ,  $-OR^5$ ,  $-OR^8$ ,  $-CO_2R^5$ ,  $-CONR^5R^5$ ,  $-OCONR^5R^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5R^9$ ,  $-NR^5COR^5$ ,  $-NR^5COR^6$ ,  $-NR^5COR^8$ ,  $-SO_2NR^5R^5$ ,  $-NR^5CONR^5R^5$ ,  $-NR^5SO_2R^7$  or  $R^6$ .

4. The use of claim 3 wherein  $R^1$  is  $C_1-C_6$  alkyl,  $-OR^7$ ,  $-CO_2R^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5CO-(C_1-C_6 \text{ alkylene})-OR^5$  or  $R^6$ , said  $C_1-C_6$  alkyl being optionally substituted by halo or  $-OR^5$ .

5. The use of claim 4 wherein  $R^1$  is  $C_1-C_3$  alkyl,  $-OCH_3$ ,  $-CO_2(C_1-C_2 \text{ alkyl})$ ,  $-NHCO_2(C_1-C_2 \text{ alkyl})$ ,  $-NH_2$ ,  $-N(CH_3)_2$ ,  $-NHCOCH_2OCH_3$  or furanyl, said  $C_1-C_3$  alkyl being optionally substituted by fluoro or  $-OH$ .

6. The use of claim 5 wherein  $R^1$  is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl,  $-OCH_3$ ,  $-CO_2CH_2CH_3$ ,  $-NHCO_2CH_2CH_3$ ,  $-NH_2$ ,  $-N(CH_3)_2$ ,  $-NHCOCH_2OCH_3$  or furan-2-yl.

7. The use of claim 6 wherein  $R^1$  is ethyl.

5 8. The use of claim 1 or claim 2 wherein  $R^1$  is methyl, ethyl, trifluoromethyl or  $-CH_2NHCH_2(4\text{-cyanophenyl})$ .

9. The use of any one of the preceding claims wherein  $R^2$  is H,  $C_1$ - $C_6$  alkyl,  $-(C_1$ - $C_3$  alkylene)- $NR^5CO$ -( $C_1$ - $C_6$  alkyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5CONR^5$ -( $C_1$ - $C_6$  alkyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5CONR^5CO$ -(phenyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5SO_2$ (C-linked  $R^6$ ),  $-(C_1$ - $C_3$  alkylene)- $NR^5CO$ (C-linked  $R^6$ ),  $-(C_1$ - $C_3$  alkylene)- $NR^5CO$ -(phenyl), each  $C_1$ - $C_6$  alkyl and phenyl being optionally substituted by halo,  $-OR^5$ ,  $-OR^{12}$ ,  $-CN$ ,  $-CO_2R^7$ ,  $-CONR^5R^5$ ,  $-OCONR^5R^5$ ,  $-C(=NR^5)NR^5OR^5$ ,  $-CONR^5NR^5R^5$ ,  $-OCONR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5R^{12}$ ,  $-NR^5COR^5$ ,  $-NR^5CO_2R^7$ ,  $-NR^5CONR^5R^5$ ,  $-NR^5COCONR^5R^5$ ,  $-NR^5SO_2R^7$ ,  $-SO_2NR^5R^5$  or  $R^6$ .

10. The use of claim 9 wherein  $R^2$  is H,  $C_1$ - $C_6$  alkyl,  $-(C_1$ - $C_3$  alkylene)- $NR^5CO$ -( $C_1$ - $C_6$  alkyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5CONR^5$ -( $C_1$ - $C_6$  alkyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5CONR^5CO$ -(phenyl),  $-(C_1$ - $C_3$  alkylene)- $NR^5SO_2R^6$ ,  $-(C_1$ - $C_3$  alkylene)- $NR^5COR^6$ ,  $-(C_1$ - $C_3$  alkylene)- $NR^5CO$ -(phenyl), each  $C_1$ - $C_6$  alkyl and phenyl being optionally substituted by halo,  $-OR^5$ ,  $-CN$ ,  $-CO_2R^7$ ,  $-CONR^5R^5$ ,  $-OCONR^5R^5$ ,  $-OCONR^5CO_2R^7$ ,  $-NR^5R^5$ ,  $-NR^5CONR^5R^5$ ,  $-NR^5COCONR^5R^5$  or  $R^6$ .

11. The use of claim 10 wherein  $R^2$  is H,  $C_1$ - $C_3$  alkyl,  $-(C_1$ - $C_2$  alkylene)- $NHCO$ -( $C_1$ - $C_3$  alkyl),  $-(C_1$ - $C_2$  alkylene)- $NHCONH$ -( $C_1$ - $C_3$  alkyl),  $-(C_1$ - $C_2$  alkylene)- $NHCONHCO$ -(phenyl),  $-(C_1$ - $C_2$  alkylene)- $NHSO_2R^6$ ,  $-(C_1$ - $C_2$  alkylene)- $NHCOR^6$ ,  $-(C_1$ - $C_2$  alkylene)- $NHCO$ -(phenyl), each  $C_1$ - $C_3$  alkyl and phenyl being optionally substituted by fluoro,  $-OH$ ,  $-O(C_1$ - $C_6$  alkyl),  $-CN$ ,  $-CO_2(C_1$ - $C_6$  alkyl),  $-CONH_2$ ,  $-OCONH_2$ ,  $-OCONHCO_2Ph$ ,  $-NH_2$ ,  $-N(C_1$ - $C_6$  alkyl) $_2$ ,  $-NHCONH_2$ ,  $-NHCOCONH_2$  or  $R^6$ .

12. The use of any one of claims 9 to 11 wherein  $R^6$  is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuran-2-yl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyran-2-yl or 1,2,3-thiadiazolyl.

13. The use of claim 11 wherein  $R^2$  is H,  $-CH_2OH$ ,  $-CH_2CH_2OH$ ,  $-CH_2CH_2CH_2OH$ ,  $-CH_2OCONH_2$ ,  $-CH_2CH_2OCONH_2$ ,  $-CH_2OCONHCO_2Ph$ ,  $-CH_2CO_2CH_2CH_3$ ,  $-CH_2CH_2CO_2CH_3$ ,  $-CH_2CH_2CO_2CH_2CH_3$ ,  $-CH_2CH_2CONH_2$ ,  $-CH_2CH_2NH_2$ ,  $-CH_2CH_2CH_2NH_2$ ,  $-CH_2CH_2NHCOCHF_2$ ,  $-CH_2CH_2NHCOCH_2CN$ ,  $-CH_2CH_2NHCOCH_2N(CH_3)_2$ ,  $-CH_2CH_2NHCOCH_2OCH_3$ ,  $-CH_2CH_2NHCOCH_2OH$ ,  $-CH_2CH_2NHCOCH_2OCH_2CH_3$ ,  $-CH_2CH_2NHCOCH_2NHCONH_2$ ,  $-CH_2CH_2NHCOCONH_2$ ,  $-CH_2CH_2NHCONHCH_2CH_2CH_3$ ,  $-CH_2CH_2NHCONHCOPh$ ,  $-CH_2CH_2NHCONHCO(2,6\text{-difluorophenyl})$ ,  $-CH_2CH_2NHSO_2(2,4\text{-dihydroxypyrimidin-5-yl})$ ,  $-CH_2CH_2NHSO_2(1\text{-methylimidazol-4-yl})$ ,  $-CH_2CH_2NHCO(tetrahydrofuran-2-yl)$ ,  $-CH_2CH_2NHCO(1,5\text{-dimethylpyrazol-3-yl})$ .

-CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>(tetrazol-1-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyridin-2-yl),  
-CH<sub>2</sub>CH<sub>2</sub>NHCO(pyrimidin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-fluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-  
hydroxyphenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxypyridazin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-hydroxypyridin-  
6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-oxo-2H-pyran-5-yl) or -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,2,3-thiadiazol-4-yl).

5            14.        The use of any one of claims 1 to 8 wherein R<sup>2</sup> is H, methyl, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub> or azetidin-3-yl.

15. The use of claim 14 wherein R<sup>2</sup> is -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN or azetidin-3-yl.

10            16.    The use of any one of the preceding claims wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.

17. The use of claim 16 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>,  
15 -NR<sup>5</sup>CO<sub>2</sub>R<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN or -OR<sup>5</sup>.

18. The use of claim 17 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -CONH<sub>2</sub>, -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by halo, -CN or -OH.

19. The use of claim 18 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl,  
20 cyanomethyl, trifluoromethyl, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -N(CH<sub>3</sub>)<sub>2</sub> or  
-NH<sub>2</sub>.

20. The use of claim 19 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl or trifluoromethyl.

21. The use of claim 20 wherein R<sup>3</sup> is ethyl.

22. The use of any one of the preceding claims wherein R<sup>4</sup> is phenyl optionally  
25 substituted by R<sup>6</sup>, halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy.

23. The use of claim 22 wherein R<sup>4</sup> is phenyl substituted by halo, -CN or C<sub>1</sub>-C<sub>3</sub> alkyl.

24. The use of claim 23 wherein R<sup>4</sup> is phenyl substituted by fluoro, chloro, bromo, -CN, or methyl.

30            25.        The use of claim 24 wherein R<sup>4</sup> is 3-chlorophenyl, 4-chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.

26. The use of claim 23 wherein R<sup>4</sup> is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.

27. The use of any one of the preceding claims wherein X is  $-\text{CH}_2-$ ,  $-\text{CHR}^{11}-$ ,  $-\text{CO}-$ ,  $-\text{S}-$  or  $-\text{SO}_2-$ .

28. The use of claim 27 wherein X is -CH<sub>2</sub>-, -CH(OCH<sub>3</sub>)-, -CO-, -S- or -SO<sub>2</sub>-.
29. The use of claim 28 wherein X is -CH<sub>2</sub>- or -S-.
30. The use of claim 1 or claim 2 wherein the compound of the formula (I) is selected from

- 5 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
10 ethyl [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]acetate;  
ethyl [4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
*N*<sup>1</sup>-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)ethanediamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-6-oxo-1,6-dihydro-3-  
pyridazinecarboxamide;  
15 *N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-1,5-dimethyl-1*H*-  
pyrazole-3-carboxamide;  
2-[(aminocarbonyl)amino]-*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-  
yl]ethyl)acetamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-ethoxyacetamide;  
20 *N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-pyridinecarboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-methoxyacetamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-6-oxo-1,6-dihydro-2-  
pyridinecarboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-  
25 pyrazinecarboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-oxo-2*H*-pyran-5-  
carboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-(1*H*-tetraazol-1-  
yl)acetamide;  
30 *N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)tetrahydro-2-  
furancarboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-3-hydroxybenzamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-hydroxyacetamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-1,2,3-thiadiazole-4-  
35 carboxamide;  
*N*-(2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl)-2-  
(dimethylamino)acetamide;

090922.0501

2-cyano-*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}acetamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2-fluorobenzamide;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N'*-propylurea;  
*N*-benzoyl-*N'*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}urea;  
5 2-[4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl [4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
ethyl [4-(3,5-dichlorobenzyl)-5-isopropyl-3-methyl-1*H*-pyrazol-1-yl]acetate;  
4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazole;  
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;  
10 2-[4-(3,5-dichlorobenzyl)-5-methyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-[(4-chlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;  
ethyl [4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
ethyl [4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazol-1-yl]acetate;  
4-(3,5-dichlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
15 4-(3,5-difluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-fluorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
4-(3-chlorobenzyl)-3-isopropyl-5-methyl-1*H*-pyrazole;  
2-[4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-[(3,5-dichlorophenyl)sulfonyl]-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanol;  
20 4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazole;  
2-[4-(3,5-dichlorobenzyl)-3,5-dimethyl-1*H*-pyrazol-1-yl]ethanamine;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-(trifluoromethyl)-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-ethyl-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
25 2-[4-(3,5-dichlorobenzyl)-3-ethyl-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3-(dimethylamino)-5-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dimethylbenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-5-(2-furyl)-3-methyl-1*H*-pyrazol-1-yl]ethanol;  
30 (3,5-dichlorophenyl)[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methanone;  
(±)-2-{4-[(3,5-dichlorophenyl)(methoxy)methyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;  
2-[4-(2,6-difluorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol;  
2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl carbamate;  
methyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
35 ethyl 3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanoate;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]propanamide;  
3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanol;

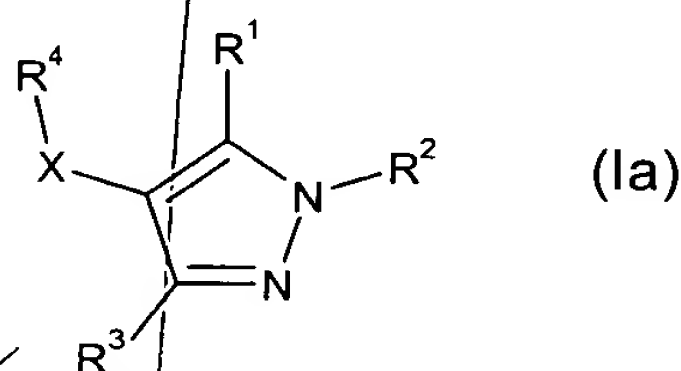


- [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methanol;  
 [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl carbamate;  
 2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethanamine;  
*N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}benzamide;  
 5 *N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-1-methyl-1*H*-imidazole-4-sulfonamide;  
     ethyl      4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxylate;  
     ethyl      4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-5-carboxylate;  
 10 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazole-3-carboxamide;  
     2-[4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-3-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
     3-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]-1-propanamine;  
 15 2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;  
     *N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,2-difluoroacetamide;  
     [4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]methyl phenyl imidodicarbonate;  
     *N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-*N*-(2,6-difluorobenzoyl)urea;  
 20 *N*-{2-[4-(3,5-dichlorobenzyl)-3,5-diethyl-1*H*-pyrazol-1-yl]ethyl}-2,4-dioxo-1,2,3,4-tetrahydro-5-pyrimidinesulfonamide;  
     ethyl 4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1*H*-pyrazole-3-carboxylate;  
     [4-[(3,5-dichlorophenyl)sulfanyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;  
 25 [4-[(3,5-dichlorophenyl)sulfonyl]-5-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-3-yl]acetonitrile;  
     2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol;  
     4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-5-amine;  
     ethyl 4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-ylcarbamate;  
 30 *N*-[4-(3,5-dichlorobenzyl)-3-ethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-5-yl]-2-methoxyacetamide;  
     2-[4-(3,5-dichlorobenzyl)-5-(dimethylamino)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
     ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazole-3-carboxylate;  
     ethyl 4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-3-methyl-1*H*-pyrazole-5-carboxylate;  
 35 *tert*-butyl      4-(3,5-dichlorobenzyl)-1-(2-hydroxyethyl)-5-methyl-1*H*-pyrazol-3-ylcarbamate;  
     2-[3-amino-4-(3,5-dichlorobenzyl)-5-methyl-1*H*-pyrazol-1-yl]ethanol;

059932-0501

ethyl [4-(3,5-dichlorobenzyl)-5-methoxy-3-methyl-1*H*-pyrazol-1-yl]acetate;  
 2-[5-amino-4-(3,5-dichlorobenzyl)-3-ethyl-1*H*-pyrazol-1-yl]ethanol;  
 5-[[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]methyl]isophthalonitrile;  
 5-[(3,5-diethyl-1*H*-pyrazol-4-yl)methyl]isophthalonitrile;  
 5-[[1-(2-aminoethyl)-3,5-diethyl-1*H*-pyrazol-4-yl]methyl]isophthalonitrile;  
 2-[4-[(3,5-dibromophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl]ethanol; and  
 5-[[3,5-diethyl-1-(2-hydroxyethyl)-1*H*-pyrazol-4-yl]sulfanyl]isophthalonitrile;  
 and the pharmaceutically acceptable salts and solvates thereof.

31. The use of a compound of the formula



or a pharmaceutically acceptable salt or solvate thereof, wherein:

$R^1$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, halo,  $-OR^5$ ,  $-CO_2R^5$ ,  $-CONR^5R^6$ ,  $-OCONR^5R^6$ ,  $-NR^5CO_2R^6$ ,  $-NR^5R^6$ ,  $-NR^5COR^6$ ,  $-SO_2NR^5R^6$ ,  $-NR^5CONR^6R^7$ ,  $-NR^5SO_2R^6$  or  $R^8$ , said  $C_1$ - $C_6$  alkyl, phenyl and benzyl being optionally substituted by halo,  $-OR^5$ ,  $-CO_2R^5$ ,  $-CONR^5R^6$ ,  $-OCONR^5R^6$ ,  $-NR^5CO_2R^6$ ,  $-NR^5R^6$ ,  $-NR^5COR^6$ ,  $-SO_2NR^5R^6$ ,  $-NR^5CONR^6R^7$ ,  $-NR^5SO_2R^6$  or  $R^8$ ;

$R^2$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl or C-linked  $R^{12}$ , said  $C_1$ - $C_6$  alkyl, phenyl and benzyl being optionally substituted by  $-OR^9$ ,  $-CO_2R^9$ ,  $-CO_2NR^9R^{10}$ ,  $-NR^9R^{10}$ ,  $-NR^9COR^{10}$ ,  $-NR^9CO_2R^{10}$ ,  $-NR^9CONR^{10}R^{11}$ ,  $-SO_2NR^9R^{10}$ ,  $-NR^9SO_2R^{10}$  or  $R^{12}$ ;

$R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, halo,  $-OR^{13}$ ,  $-CO_2R^{13}$ ,  $-CONR^{13}R^{14}$ ,  $-OCONR^{13}R^{14}$ ,  $-NR^{13}CO_2R^{14}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}COR^{14}$ ,  $-SO_2NR^{13}R^{14}$ ,  $-NR^{13}CONR^{14}R^{15}$ ,  $-NR^{13}SO_2R^{14}$  or  $R^{16}$ , said  $C_1$ - $C_6$  alkyl, phenyl and benzyl being optionally substituted by halo,  $-OR^{13}$ ,  $-CO_2R^{13}$ ,  $-CONR^{13}R^{14}$ ,  $-OCONR^{13}R^{14}$ ,  $-NR^{13}CO_2R^{14}$ ,  $-NR^{13}R^{14}$ ,  $-NR^{13}COR^{14}$ ,  $-SO_2NR^{13}R^{14}$ ,  $-NR^{13}CONR^{14}R^{15}$ ,  $-NR^{13}SO_2R^{14}$  or  $R^{16}$ ;

$R^4$  is phenyl or pyridyl, each being optionally substituted by halo,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  haloalkyl,  $C_3$ - $C_7$  cycloalkyl or  $C_1$ - $C_6$  alkoxy;

$R^5$ ,  $R^6$ ,  $R^7$ ,  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{13}$ ,  $R^{14}$  and  $R^{15}$  are either each H,  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_6$  cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or



morpholinyl, said azetidiny, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, homopiperazinyl and morpholinyl being optionally substituted by C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl;

5 R<sup>8</sup>, R<sup>12</sup> and R<sup>16</sup> are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or halo; and

X is -CH<sub>2</sub>-, -S-, -SO- or -SO<sub>2</sub>-;

in the manufacture of a reverse transcriptase inhibitor.

10 32. The use of a compound of the formula (Ia), or a pharmaceutically acceptable salt or solvate thereof, as defined in claim 31, in the manufacture of a medicament for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS).

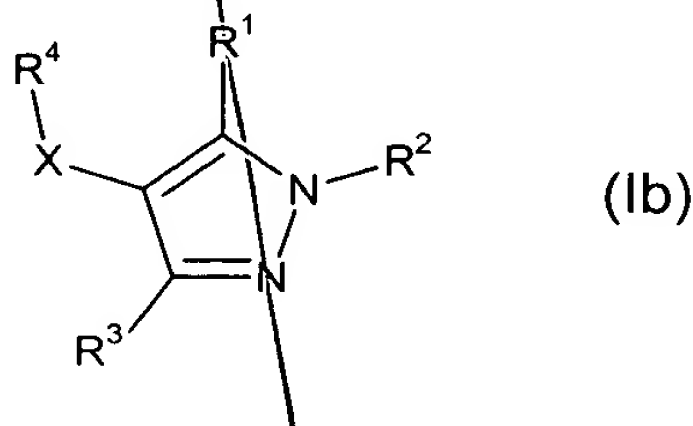
15 33. A compound of the formula (I), as defined in any one of claims 1 or 3 to 30, or a compound of the formula (Ia), as defined in claim 31, or a pharmaceutically acceptable salt or solvate of either, for use as a reverse transcriptase inhibitor.

20 34. A compound of the formula (I), as defined in any one of claims 1 or 3 to 30, or a compound of the formula (Ia), as defined in claim 31, or a pharmaceutically acceptable salt or solvate of either, for use in the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS).

25 35. A method of treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I), as defined in any one of claims 1 or 3 to 30, or a compound of the formula (Ia), as defined in claim 31, or a pharmaceutically acceptable salt or solvate of either, to a patient in need of such treatment.

30 36. A method of treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I), as defined in any one of claims 1 or 3 to 30, or a compound of the formula (Ia), as defined in claim 31, or a pharmaceutically acceptable salt or solvate of either, to a patient in need of such treatment.

37. A compound of the formula



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i)  $R^1$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, halo, -CN, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO-( $C_1$ - $C_6$  alkylene)-OR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup> and

$R^2$  is -Y-Z,

or,  $R^1$  and  $R^2$ , when taken together, represent unbranched  $C_3$ - $C_4$  alkylene, optionally wherein one methylene group of said  $C_3$ - $C_4$  alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R<sup>5</sup> or R<sup>8</sup>,

and  $R^3$  is H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl, benzyl, -CN, halo, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>, said  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>,

or (ii)  $R^1$  and  $R^3$  are each independently  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl or halo-( $C_1$ - $C_6$  alkyl), and  $R^2$  is H,

provided that

- (a) for definition (i),  $R^1$  and  $R^3$  are not both H,
- (b) for definition (i),  $R^1$  and  $R^3$  are not both optionally substituted phenyl, as defined therein,
- (c) for definition (i), when  $R^1$  and  $R^3$  are both methyl,  $R^2$  is not phenyl or methyl,

and

- (d) for definition (ii),  $R^1$  and  $R^3$  are not both methyl;

Y is a direct bond or  $C_1$ - $C_3$  alkylene;

Z is R<sup>10</sup> or, where Y is  $C_1$ - $C_3$  alkylene, Z is -NR<sup>5</sup>COR<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>10</sup>, -NR<sup>5</sup>CONR<sup>5</sup>COR<sup>10</sup> or -NR<sup>5</sup>SO<sub>2</sub>R<sup>10</sup>;

$R^4$  is phenyl or pyridyl, each substituted by at least one substituent selected from halo, -CN,  $C_1$ - $C_6$  alkyl, fluoro-( $C_1$ - $C_6$ )-alkyl,  $C_3$ - $C_7$  cycloalkyl and  $C_1$ - $C_6$  alkoxy;

each R<sup>5</sup> is independently either H,  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_7$  cycloalkyl, fluoro-( $C_1$ - $C_6$ )-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny or morpholiny, said azetidiny, pyrrolidiny, piperidiny, homopiperidiny, piperaziny, homopiperaziny and morpholiny being optionally substituted by  $C_1$ - $C_6$  alkyl or  $C_3$ - $C_7$

cycloalkyl and said piperazinyl and homopiperazinyl being optionally substituted on the nitrogen atom not taken together with the two R<sup>5</sup> groups to form the ring by -COR<sup>7</sup> or -SO<sub>2</sub>R<sup>7</sup>;

R<sup>6</sup> is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -CN, oxo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -COR<sup>7</sup> or halo;

R<sup>7</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenyl or benzyl;

R<sup>8</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR<sup>5</sup>R<sup>5</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -(C<sub>1</sub>-C<sub>6</sub> alkylene)-NR<sup>5</sup>R<sup>5</sup>, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy;

R<sup>9</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, said C<sub>1</sub>-C<sub>6</sub> alkyl and C<sub>3</sub>-C<sub>7</sub> cycloalkyl being optionally substituted by -OR<sup>5</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

R<sup>10</sup> is (a) benzyl or C-linked R<sup>6</sup>, said benzyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>, or (b) when R<sup>1</sup> and R<sup>3</sup> are each independently C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl or halo-(C<sub>1</sub>-C<sub>6</sub> alkyl), R<sup>10</sup> is phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl each being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -R<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>;

X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S-, -SO- or -SO<sub>2</sub>-;

R<sup>11</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy; and

R<sup>12</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl substituted by R<sup>5</sup>, -OR<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup> or -NR<sup>5</sup>R<sup>5</sup>.

38. A compound as claimed in claim 37 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo, -CN, -OR<sup>5</sup>, -OR<sup>8</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>8</sup>R<sup>9</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>COR<sup>6</sup>, -NR<sup>5</sup>COR<sup>8</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.

39. A compound as claimed in claim 38 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -OR<sup>7</sup>, -CO<sub>2</sub>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkylene)-OR<sup>5</sup> or R<sup>6</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by halo or -OR<sup>5</sup>.

40. A compound as claimed in claim 39 wherein R<sup>1</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -OCH<sub>3</sub>, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub> alkyl), -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furanyl, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally substituted by fluoro or -OH.

0699322-070501

41. A compound as claimed in claim 40 wherein R<sup>1</sup> is methyl, ethyl, prop-2-yl, hydroxymethyl, trifluoromethyl, -OCH<sub>3</sub>, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHCO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NH<sub>2</sub>, -N(CH<sub>3</sub>)<sub>2</sub>, -NHCOCH<sub>2</sub>OCH<sub>3</sub> or furan-2-yl.

42. A compound as claimed in claim 41 wherein R<sup>1</sup> is ethyl.

5 43. A compound as claimed in claim 37 wherein R<sup>1</sup> is methyl, ethyl, trifluoromethyl or -CH<sub>2</sub>NHCH<sub>2</sub>(4-cyanophenyl).

44. A compound as claimed in any one of claims 37 to 43 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO(C-linked R<sup>6</sup>), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -OR<sup>12</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -C(=NR<sup>5</sup>)NR<sup>5</sup>OR<sup>5</sup>, -CONR<sup>5</sup>NR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>R<sup>12</sup>, -NR<sup>5</sup>COR<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup>, -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.

15 45. A compound as claimed in claim 44 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CONR<sup>5</sup>CO-(phenyl), -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>SO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>COR<sup>6</sup>, -(C<sub>1</sub>-C<sub>3</sub> alkylene)-NR<sup>5</sup>CO-(phenyl), each C<sub>1</sub>-C<sub>6</sub> alkyl and phenyl being optionally substituted by halo, -OR<sup>5</sup>, -CN, -CO<sub>2</sub>R<sup>7</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>6</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COCONR<sup>5</sup>R<sup>5</sup> or R<sup>6</sup>.

20 46. A compound as claimed in claim 45 wherein R<sup>2</sup> is H, C<sub>1</sub>-C<sub>3</sub> alkyl, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONH-(C<sub>1</sub>-C<sub>3</sub> alkyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCONHCO-(phenyl), -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO<sub>2</sub>R<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCOR<sup>6</sup>, -(C<sub>1</sub>-C<sub>2</sub> alkylene)-NHCO-(phenyl), each C<sub>1</sub>-C<sub>3</sub> alkyl and phenyl being optionally substituted by fluoro, -OH, -O(C<sub>1</sub>-C<sub>6</sub> alkyl), -CN, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), -CONH<sub>2</sub>, -OCONH<sub>2</sub>, -OCONHCO<sub>2</sub>Ph, -NH<sub>2</sub>, 25 -N(C<sub>1</sub>-C<sub>6</sub> alkyl)<sub>2</sub>, -NHCONH<sub>2</sub>, -NHCOCONH<sub>2</sub> or R<sup>6</sup>.

47. A compound as claimed in any one of claims 44 to 46 wherein R<sup>6</sup> is 2,4-dihydroxypyrimidinyl, 1-methylimidazolyl, tetrahydrofuranyl, 1,5-dimethylpyrazolyl, tetrazolyl, pyridinyl, pyrimidinyl, 3-hydroxypyridazinyl, 2-hydroxypyridinyl, 2-oxo-2H-pyranyl or 1,2,3-thiadiazolyl.

30 48. A compound as claimed in claim 46 wherein R<sup>2</sup> is H, -CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>OCONH<sub>2</sub>, -CH<sub>2</sub>OCONHCO<sub>2</sub>Ph, -CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>CONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCHF<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>N(CH<sub>3</sub>)<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>2</sub>CH<sub>3</sub>, 35 -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>NHCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCOCONH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCONHCO(2,6-difluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(2,4-dihydroxypyrimidin-5-yl), -CH<sub>2</sub>CH<sub>2</sub>NHSO<sub>2</sub>(1-methylimidazol-4-yl),

-CH<sub>2</sub>CH<sub>2</sub>NHCO(tetrahydrofuran-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,5-dimethylpyrazol-3-yl),  
 -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>(tetrazol-1-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCOPh, -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyridin-2-yl),  
 -CH<sub>2</sub>CH<sub>2</sub>NHCO(pyrimidin-2-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-fluorophenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-  
 hydroxyphenyl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(3-hydroxypyridazin-6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-hydroxypyridin-  
 6-yl), -CH<sub>2</sub>CH<sub>2</sub>NHCO(2-oxo-2H-pyran-5-yl) or -CH<sub>2</sub>CH<sub>2</sub>NHCO(1,2,3-thiadiazol-4-yl).

49. A compound as claimed in claim 37 wherein R<sup>2</sup> is H, methyl, -CH<sub>2</sub>CH<sub>2</sub>OH,  
 -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, -CH<sub>2</sub>CN, -CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -CH<sub>2</sub>CONH<sub>2</sub>,  
 -CH<sub>2</sub>CH<sub>2</sub>NHCOCH<sub>2</sub>OCH<sub>3</sub> or azetidin-3-yl.

50. A compound as claimed in claim 49 wherein R<sup>2</sup> is -CH<sub>2</sub>CH<sub>2</sub>OH, -CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>,  
 -CH<sub>2</sub>CN or azetidin-3-yl.

51. A compound as claimed in any one of claims 37 to 50 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub>  
 alkyl, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted  
 by halo, -CN, -OR<sup>5</sup>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, -OCONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup>, -NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>COR<sup>5</sup>,  
 -SO<sub>2</sub>NR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>SO<sub>2</sub>R<sup>7</sup> or R<sup>6</sup>.

52. A compound as claimed in claim 51 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>6</sub> alkyl, -CO<sub>2</sub>R<sup>5</sup>,  
 -CONR<sup>5</sup>R<sup>5</sup>, -NR<sup>5</sup>CO<sub>2</sub>R<sup>7</sup> or -NR<sup>5</sup>R<sup>5</sup>, said C<sub>1</sub>-C<sub>6</sub> alkyl being optionally substituted by  
 halo, -CN or -OR<sup>5</sup>.

53. A compound as claimed in claim 52 wherein R<sup>3</sup> is C<sub>1</sub>-C<sub>3</sub> alkyl, -CO<sub>2</sub>(C<sub>1</sub>-C<sub>2</sub>  
 alkyl), -CONH<sub>2</sub>, -NHCO<sub>2</sub>(C<sub>1</sub>-C<sub>4</sub> alkyl), -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>, said C<sub>1</sub>-C<sub>3</sub> alkyl being optionally  
 substituted by halo, -CN or -OH.

54. A compound as claimed in claim 53 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl,  
 hydroxymethyl, cyanomethyl, trifluoromethyl, -CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CONH<sub>2</sub>, -NHCO<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>,  
 -N(CH<sub>3</sub>)<sub>2</sub> or -NH<sub>2</sub>.

55. A compound as claimed in claim 54 wherein R<sup>3</sup> is methyl, ethyl, prop-2-yl or  
 trifluoromethyl.

56. A compound as claimed in claim 55 wherein R<sup>3</sup> is ethyl.

57. A compound as claimed in any one of claims 37 to 56 wherein R<sup>4</sup> is phenyl  
 substituted by at least one substituent selected from halo, -CN, C<sub>1</sub>-C<sub>6</sub> alkyl, fluoro-(C<sub>1</sub>-C<sub>6</sub>)-  
 alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl and C<sub>1</sub>-C<sub>6</sub> alkoxy.

58. A compound as claimed in claim 57 wherein R<sup>4</sup> is phenyl substituted by at  
 least one substituent selected from halo, -CN and C<sub>1</sub>-C<sub>3</sub> alkyl.

59. A compound as claimed in claim 58 wherein R<sup>4</sup> is phenyl substituted by at  
 least one substituent selected from fluoro, chloro, bromo, -CN and methyl.

60. A compound as claimed in claim 59 wherein R<sup>4</sup> is 3-chlorophenyl, 4-  
 chlorophenyl, 3-fluorophenyl, 3,5-dichlorophenyl, 2,6-difluorophenyl, 3,5-difluorophenyl, 3,5-  
 dibromophenyl, 3,5-dicyanophenyl or 3,5-dimethylphenyl.

099326660

61. A compound as claimed in claim 58 wherein R<sup>4</sup> is (i) phenyl substituted at the 3 position by fluoro, chloro, methyl or cyano or (ii) phenyl substituted at the 3 and 5 positions by two substituents independently chosen from fluoro, chloro, methyl and cyano.

62. A compound as claimed in any one of claims 37 to 61 wherein X is -CH<sub>2</sub>-, -CHR<sup>11</sup>-, -CO-, -S- or -SO<sub>2</sub>-.

63. A compound as claimed in claim 62 wherein X is -CH<sub>2</sub>-, -CH(OCH<sub>3</sub>)-, -CO-, -S- or -SO<sub>2</sub>-.

64. A compound as claimed in claim 63 wherein X is -CH<sub>2</sub>- or -S-.

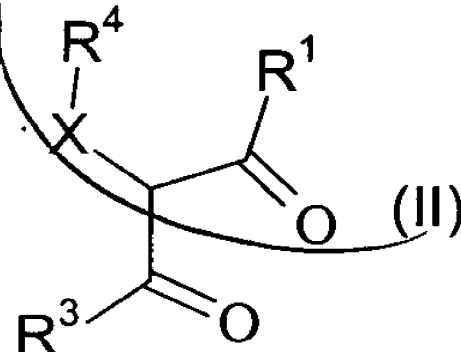
65. A compound as defined in claim 30.

66. A pharmaceutical composition including a compound of the formula (Ib) or a pharmaceutically acceptable salt or solvate thereof, as defined in any one of claims 37 to 65, together with a pharmaceutically acceptable excipient, diluent or carrier.

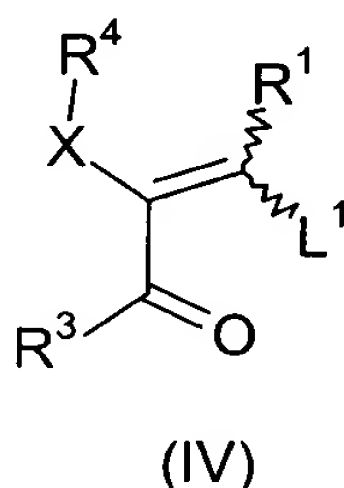
67. A compound of the formula (Ib) or a pharmaceutically acceptable salt, solvate or composition thereof, as defined in any one of claims 37 to 65 and 66, respectively, for use as a medicament.

68. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein R<sup>1</sup> and R<sup>3</sup> are each either H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, phenyl, benzyl, -NH<sub>2</sub>, -CO<sub>2</sub>R<sup>5</sup>, -CONR<sup>5</sup>R<sup>5</sup>, or C-linked R<sup>6</sup>, optionally substituted where allowed, which includes the reaction of

(a) a compound of the formula

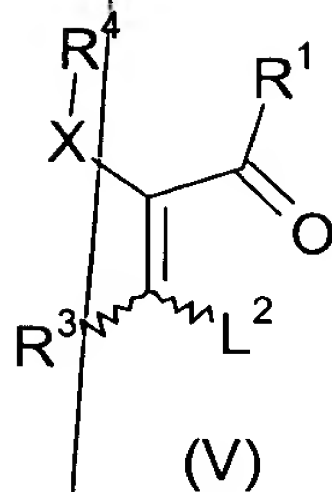


wherein R<sup>1</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 37, or a functional equivalent thereof, particularly a compound of the formula



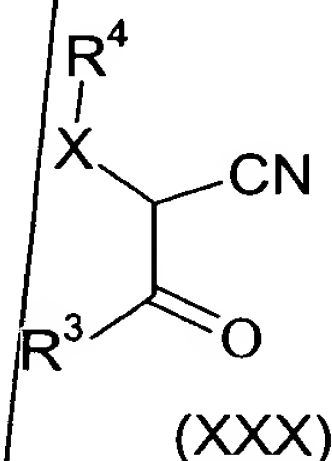
wherein R<sup>1</sup>, R<sup>3</sup>, R<sup>4</sup> and X are as defined in claim 37 and L<sup>1</sup> is a suitable leaving group, preferably dimethylamino, or a compound of the formula





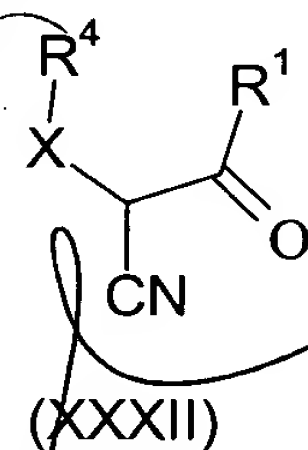
wherein  $R^1$ ,  $R^3$ ,  $R^4$  and  $X$  are as defined in claim 37 and  $L^2$  is a suitable leaving group, preferably dimethylamino; or

(b) a compound of the formula



wherein  $R^3$ ,  $R^4$  and  $X$  are as defined in claim 37; or

(c) a compound of the formula



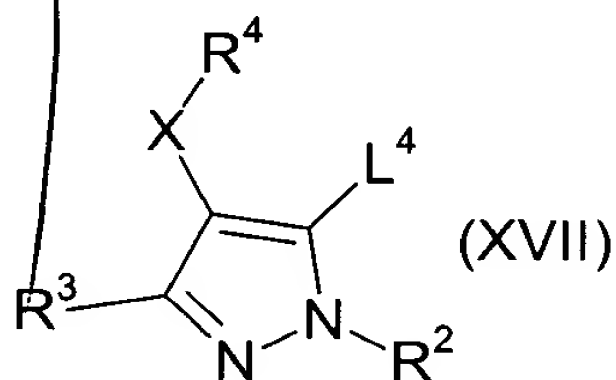
wherein  $R^1$ ,  $R^4$  and  $X$  are as defined in claim 37;

with a compound of the formula

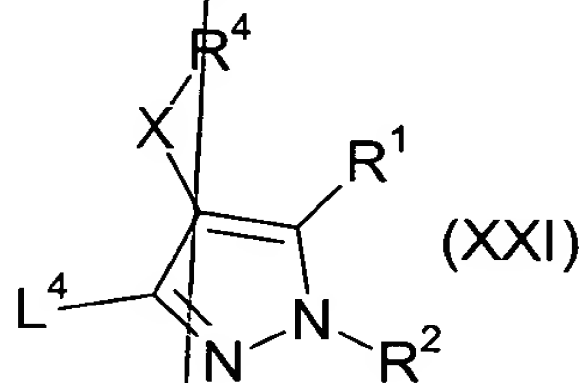


wherein  $R^2$  is as defined in claim 37, or a salt or solvate thereof, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

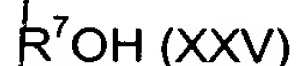
69. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein  $R^1$  or  $R^3$  is  $-OR^7$  or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



wherein  $R^1$ ,  $R^3$ ,  $R^4$  and X are as defined in claim 37 and  $L^4$  is a suitable leaving group, preferably trifluoromethanesulphonate; or a compound of the formula

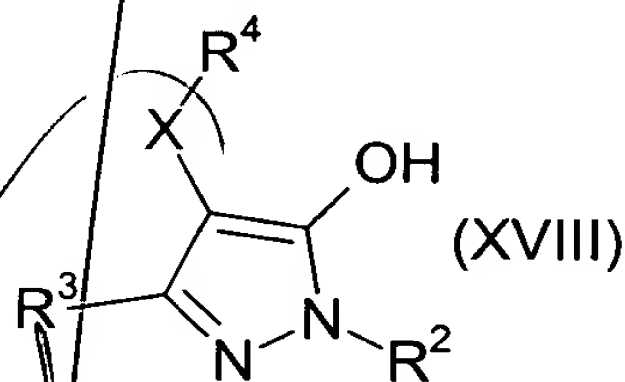


5 wherein  $R^1$ ,  $R^3$ ,  $R^4$  and X are as defined in claim 37 and  $L^4$  is a suitable leaving group, preferably trifluoromethanesulphonate;  
with a compound of the formula

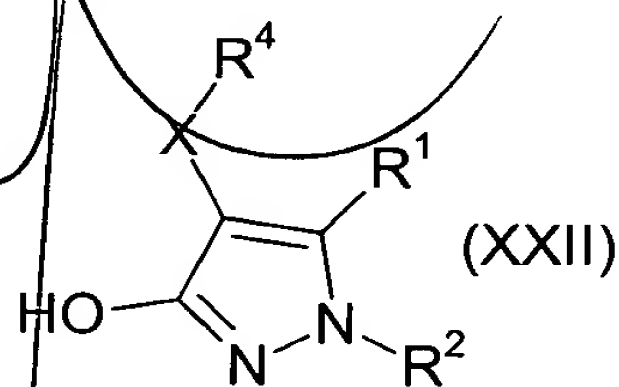


10 wherein  $R^7$  is as defined in claim 37, in the presence of a suitable catalyst, preferably a suitable palladium catalyst, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

70. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein  $R^1$  or  $R^3$  is  $-OR^7$ , or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula



15 wherein  $R^2$ ,  $R^3$ ,  $R^4$  and X are as defined in claim 37, or a compound of the formula

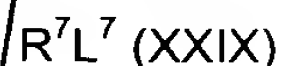


wherein  $R^1$ ,  $R^2$ ,  $R^4$  and X are as defined in claim 37, with a compound of the formula  $R^7OH$  (XXV)

20 wherein  $R^7$  is as defined in claim 37, under dehydrating conditions, preferably in the presence of a dialkylazodicarboxylate such as diethylazodicarboxylate, and a triarylphosphine such as triphenylphosphine, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

71. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein  $R^1$  or  $R^3$  is  $-OR^7$ , or a pharmaceutically acceptable salt or solvate thereof,

which includes the reaction of a compound of the formula (XVIII), as defined in claim 70, or a compound of the formula (XXII), as defined in claim 70, with a compound of the formula

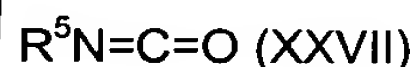


wherein  $R^7$  is as defined in claim 37 and  $L^7$  is a suitable leaving group, preferably halo, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

72. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein  $R^1$  or  $R^3$  is  $-CONR^5R^5$ , or a pharmaceutically acceptable salt or solvate thereof, which includes the reaction of a compound of the formula (XVIII), as defined in claim 70, or a compound of the formula (XXII), as defined in claim 70, with a compound of the formula



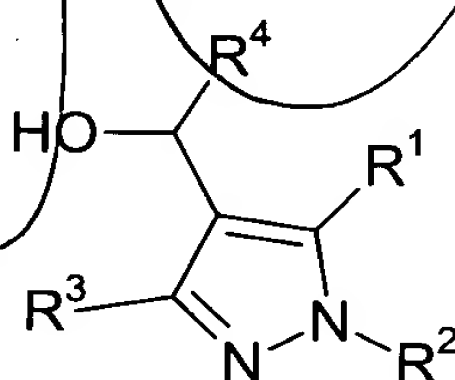
in which  $R^5$  is as defined in claim 37 and  $L^5$  is a suitable leaving group, preferably chloro, or with a compound of the formula



in which  $R^5$  is as defined in claim 37, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

73. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, wherein X is  $-CO-$  or  $-CHR^{10}-$  and  $R^{10}$  is  $C_1-C_6$  alkoxy, or a pharmaceutically acceptable salt or solvate thereof, which includes

(a) the oxidation of a compound of the formula



(XXXIV)

wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^4$  are as defined in claim 37, or

(b) the reaction of a compound of the formula (XXXIV), as defined above, with a compound of the formula



wherein  $R^b$  is  $C_1-C_6$  alkyl and  $L^8$  is a suitable leaving group, preferably chloro, bromo or iodo, optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

74. A process for the preparation of a compound of the formula (Ib), as defined in claim 37, containing an -OH, -NH- or -NH<sub>2</sub> group or a pharmaceutically acceptable salt or solvate thereof, which includes the deprotection of a corresponding compound bearing an -OP<sup>1</sup>, -NP<sup>1</sup>- or -NHP<sup>1</sup> group, respectively, wherein the group P<sup>1</sup> is a suitable protecting group,  
5 optionally followed by the conversion of the compound of the formula (Ib) to a pharmaceutically acceptable salt thereof.

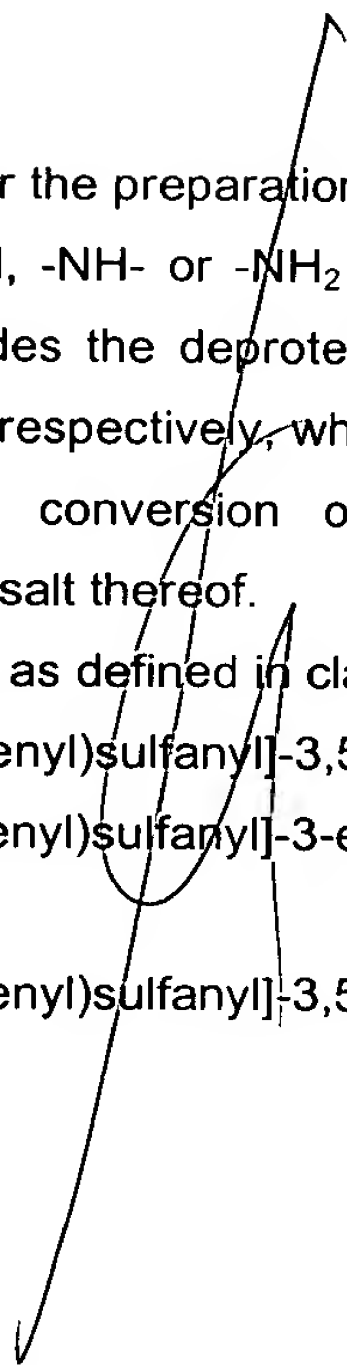
75. A compound as defined in claim 65, selected from

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-dimethyl-1*H*-pyrazol-1-yl}ethanol;

2-[4-[(3,5-dichlorophenyl)sulfanyl]-3-ethyl-5-(hydroxymethyl)-1*H*-pyrazol-1-yl]ethanol;

10 and

2-{4-[(3,5-dichlorophenyl)sulfanyl]-3,5-diethyl-1*H*-pyrazol-1-yl}ethanol.



099333-070501